

Fig. 1

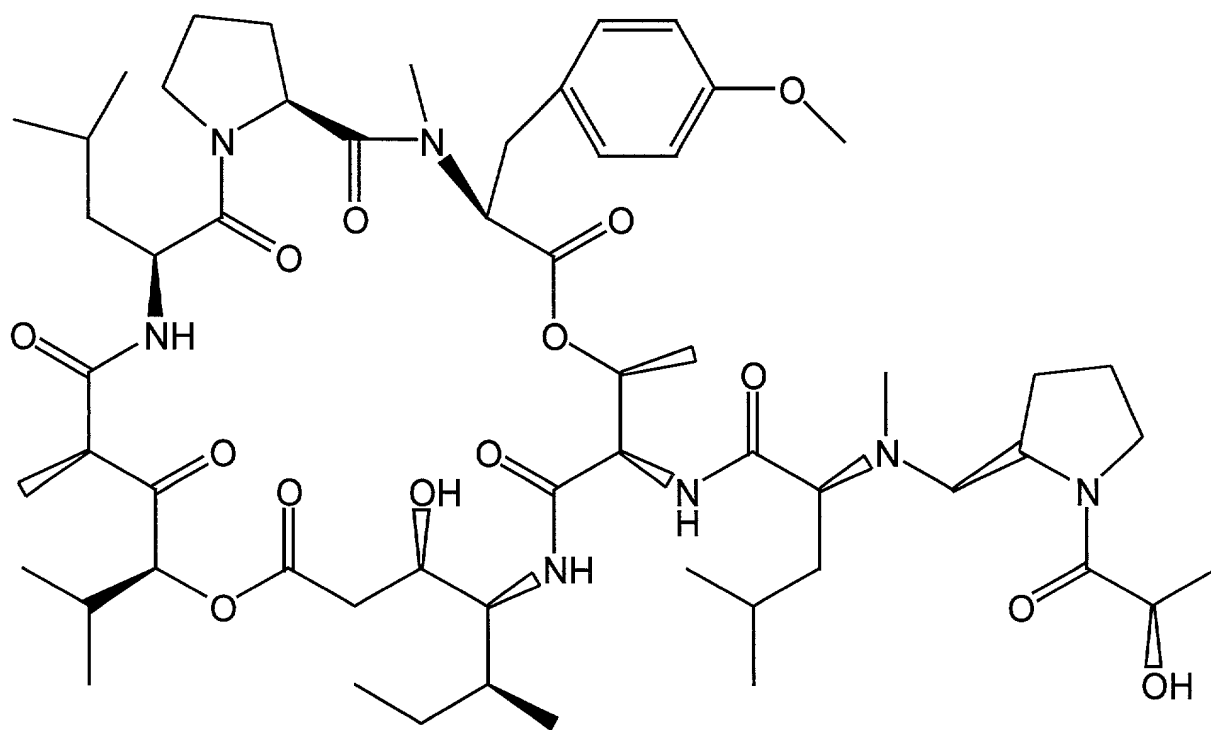
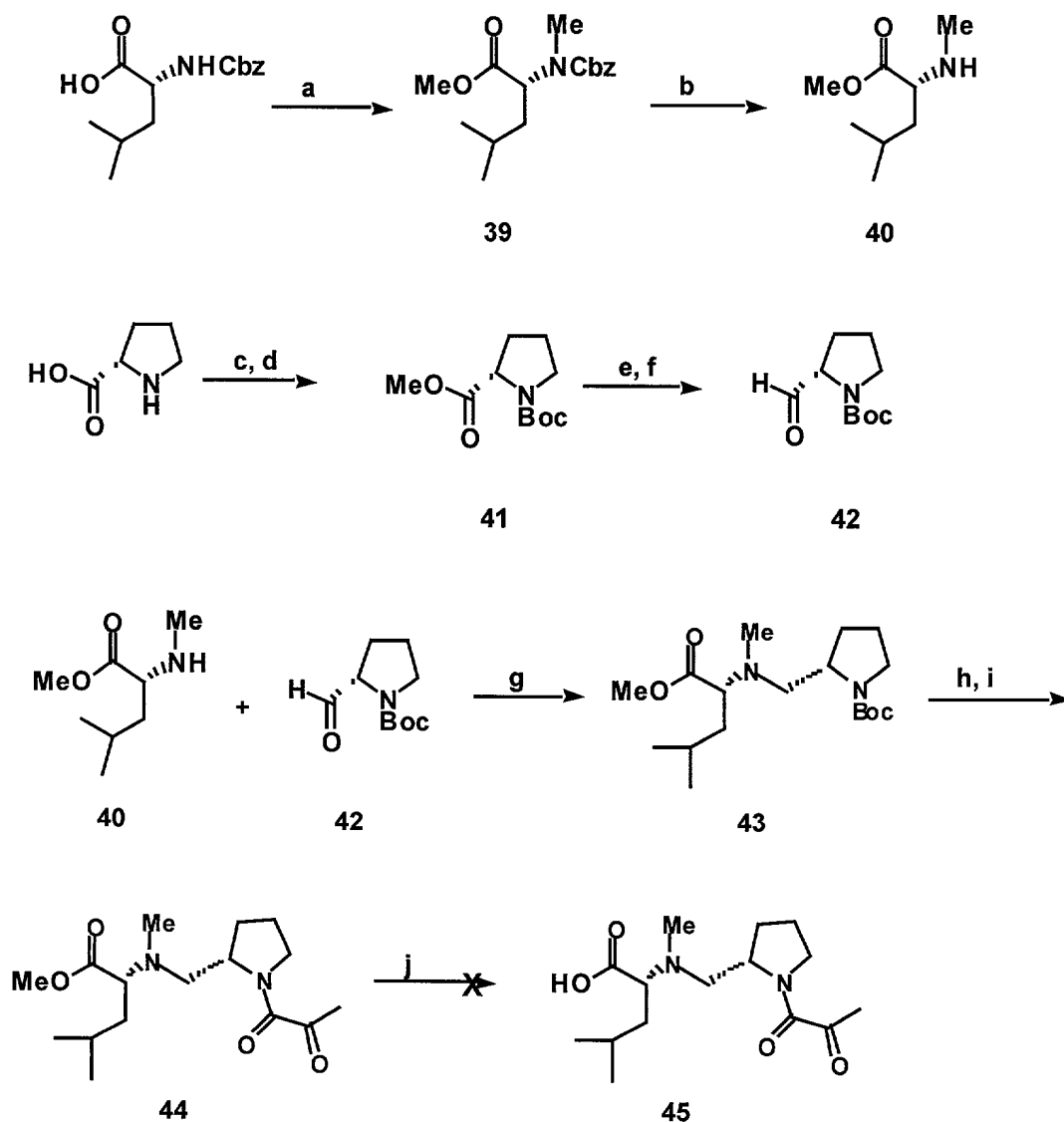
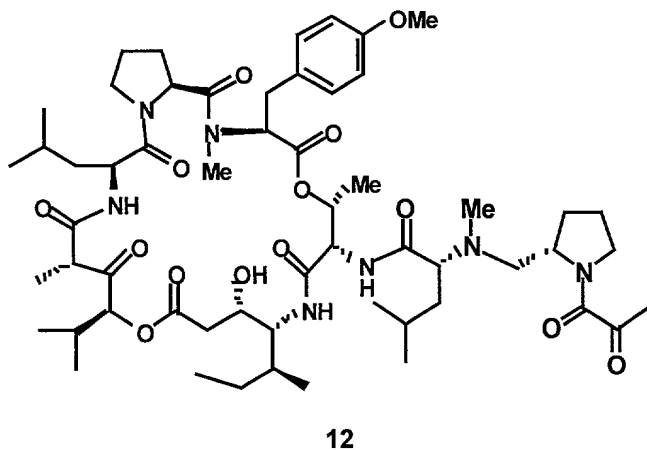
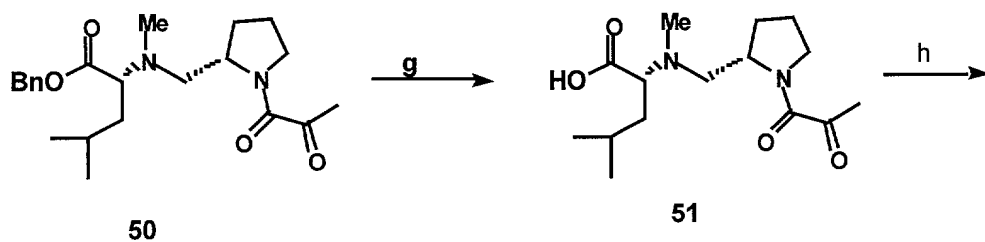
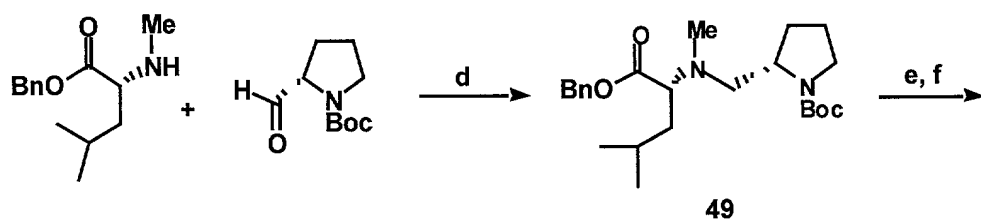
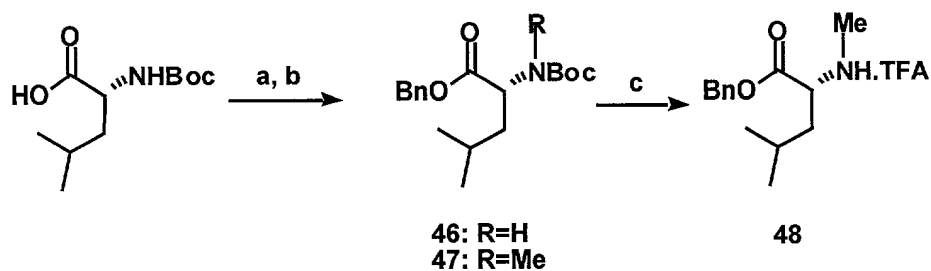


Fig. 2



a.  $\text{Me}_2\text{SO}_4$ , KOH,  $\text{Bu}_4\text{N}^+\text{HSO}_4^-$ , THF, 78%; b.  $\text{H}_2$ , Pd/C, 99%; c. MeOH,  $\text{SOCl}_2$ , 95%; d.  $\text{Boc}_2\text{O}$ ,  $\text{Et}_3\text{N}$ ,  $\text{CH}_2\text{Cl}_2$ , 85%; e.  $\text{NaBH}_4$ , LiCl, THF/EtOH, 85%; f.  $\text{SO}_3$ .Pyr complex, DMSO,  $\text{Et}_3\text{N}$ ,  $\text{CH}_2\text{Cl}_2$ ; g.  $\text{Na}(\text{AcO})_3\text{BH}$ , AcOH,  $\text{CH}_2\text{Cl}_2$ , 88%; h. HCl in dioxane, 90%; i. pyruvic acid BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 70%; j.  $\text{LiOH} \cdot \text{H}_2\text{O}$ , THF/ $\text{H}_2\text{O}$

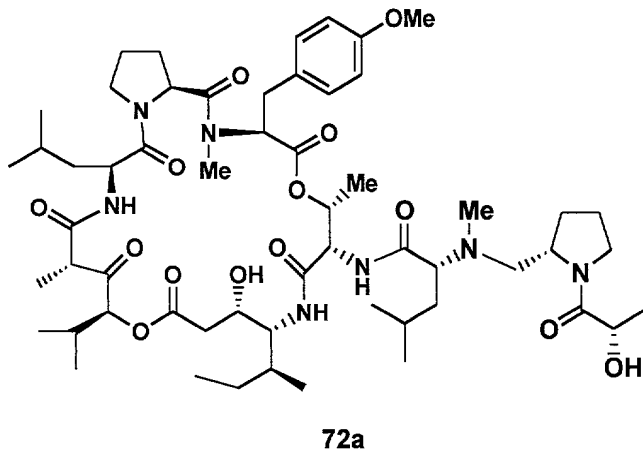
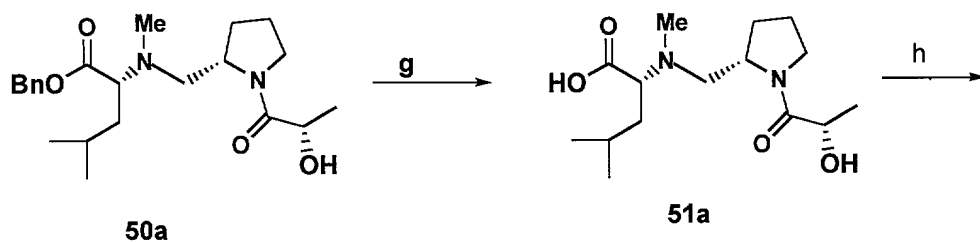
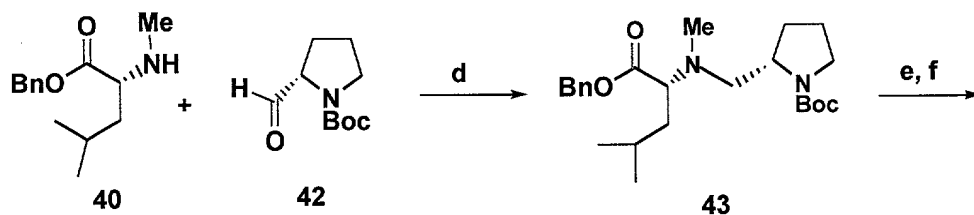
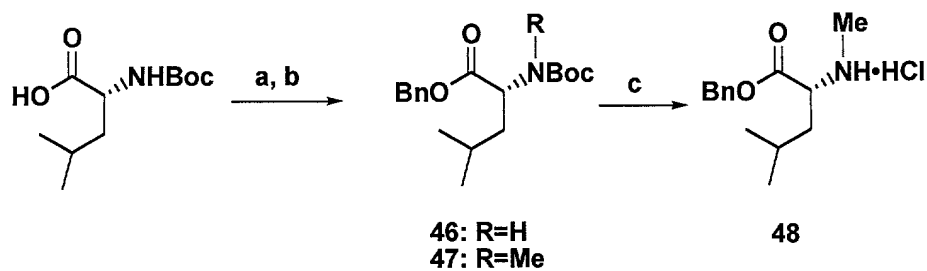
Fig. 3



a.  $\text{Li}_2\text{CO}_3$ , BnBr, DMF, 85%; b. MeI, NaHMDS,  $\text{CH}_2\text{Cl}_2$  78%; c. TFA/ $\text{CH}_2\text{Cl}_2$ , 90%  
d.  $\text{Na}(\text{AcO})_3\text{BH}$ , AcOH,  $\text{CH}_2\text{Cl}_2$ , 88%; e. HCl in dioxane, 90%; f. pyruvic acid, BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 70%; g.  $\text{H}_2$ , Pd/C, 99%; h. didemninn macrocycle salt, DIEA, HATU,  $\text{CH}_2\text{Cl}_2$ , 72%

Fig. 4

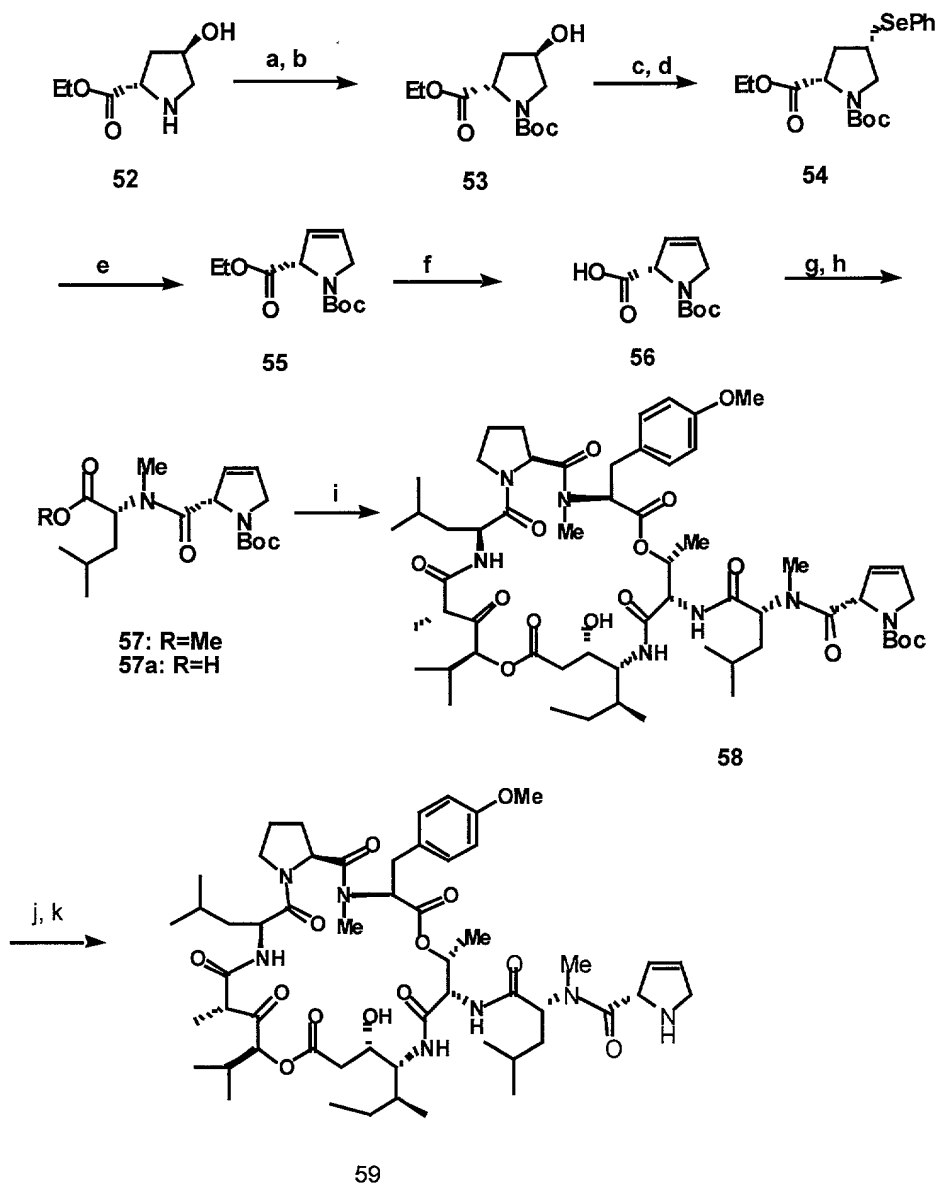
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a.  $\text{Li}_2\text{CO}_3$ ,  $\text{BnBr}$ ,  $\text{DMF}$ , 85%; b.  $\text{MeI}$ ,  $\text{NaHMDS}$ ,  $\text{CH}_2\text{Cl}_2$ , 78%; c.  $\text{HCl}$  in dioxane, 98%  
 d.  $\text{Na}(\text{AcO})_3\text{BH}$ ,  $\text{AcOH}$ ,  $\text{CH}_2\text{Cl}_2$ , 88%; e.  $\text{HCl}$  in dioxane, 98%; f. lactic acid, BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 61%; g.  $\text{H}_2$ ,  $\text{Pd/C}$ ; h. didemninn macrocycle salt, DIEA, HATU,  $\text{CH}_2\text{Cl}_2$ , 72%

**Fig. 5**

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a. EtOH,  $\text{SOCl}_2$ , 95%; b.  $\text{Boc}_2\text{O}$ ,  $\text{Et}_3\text{N}$ ,  $\text{CH}_2\text{Cl}_2$ , 75%; c.  $\text{MsCl}$ , pyr.,  $\text{CH}_2\text{Cl}_2$ , 86%; d.  $\text{Se}_2\text{Ph}_2$ ,  $\text{NaBH}_4$ , EtOH, 86%; e. Pyr.,  $\text{H}_2\text{O}_2$ ,  $\text{CH}_2\text{Cl}_2$ , 82%; f.  $\text{LiOH} \cdot \text{H}_2\text{O}$ , THF/ $\text{H}_2\text{O}$ , 95%; g. *N*-Me-D-Leucine methyl ester, BOP, NMM,  $\text{CH}_2\text{Cl}_2$ , 75%; h. HCl.dioxane; i. DB macrocycle salt, DIEA, HATU,  $\text{CH}_2\text{Cl}_2$ , 72%; j. HCl gas; k.  $\text{NaHCO}_3$ , ethyl acetate

Fig. 6

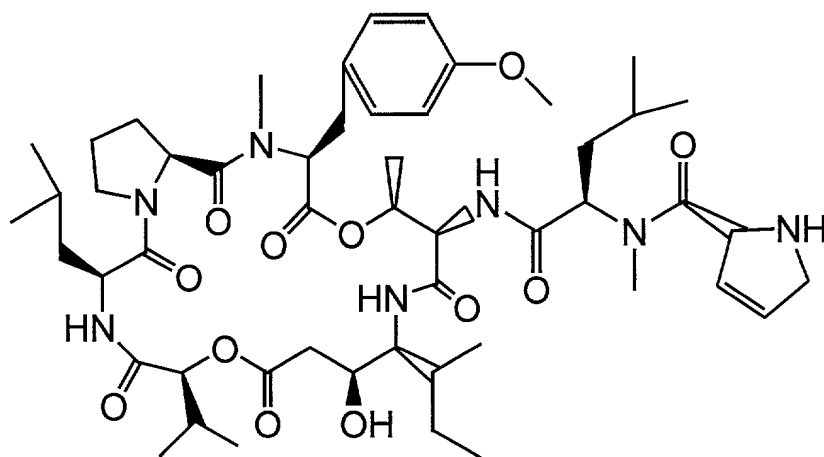


Fig. 7

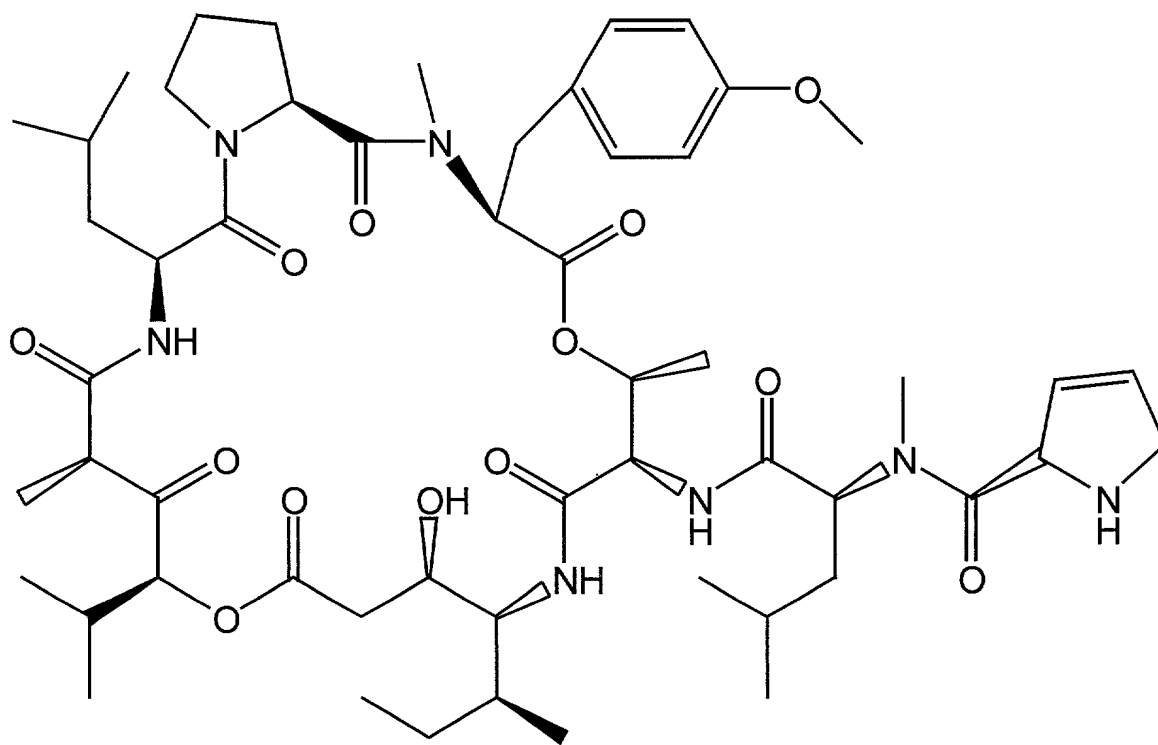


Fig. 8